Patent claims

1. The use of acylated 4-amidino- or 4-guanidinobenzylamine according to the general formula I

P4-P3-P2-P1 (I),

where P4 is a monosubstituted or polysubstituted or unsubstituted benzylsulfonyl group, P3 is a monosubstituted or polysubstituted or unsubstituted, natural or unnatural α-amino acid or α-imino acid in the D configuration,
P2 is a monosubstituted or polysubstituted or unsubstituted, natural or unnatural α-amino acid or α-imino acid in the L configuration, and
P1 is a monosubstituted or polysubstituted or unsubstituted 4-amidino- or 4-guanidinobenzylamine group,
for inhibiting plasma kallikrein and/or factor XIa and/or factor XIIa.

2. The use as claimed in claim 1 for inhibiting plasma kallikrein.

3. The use as claimed in claim 1 or 2, characterized in that the substituent at the substituted P4, P3, P2 and/or P1 is
(a) hydrogen, and/or
(b) a halogen, preferably fluorine, chlorine and/or bromine, and/or
(c) a substituted or unsubstituted, branched or linear alkyl radical having 1-6 C atoms, preferably 1-3 C atoms, in particular methyl, or a substituted or unsubstituted, branched or linear aralkyl radical having 1-10 C atoms, with the substituent of the substituted, branched or linear alkyl radical or aralkyl radical preferably being a halogen, hydroxyl, amino, cyano, amidino,

guanidino and/or carboxyl group, where appropriate esterified with a lower alkyl radical, in particular with methyl or ethyl, and/or

(d) being a hydroxyl, amino, cyano, amidino, guanidino, methyloxycarbonyl, benzyl, benzyloxycarbonyl, aminomethyl or glutaryl or succinylamidomethyl group, and/or being an oxyalkylcarbonyl, carboxyl, carboxymethyl or carboxyethyl group, where appropriate esterified with a lower alkyl radical, in particular with methyl or ethyl, or an oxyalkylcarbonyl, carboxyl, carboxymethyl or carboxyethyl group which is present as unsubstituted amide or amide which is substituted by an alkyl or aryl group.

4. The use as claimed in at least one of claims 1 to 3, characterized in that a linker group is also coupled to P4 or P2, with the linker group being coupled to P4 by way of a substituent as defined in claim 3 or coupled directly to a functional group of P2, in particular by way of a -NH- or a -CO- group, with the linker group preferably being a dicarboxylic acid, an aminocarboxylic acid, a diamine, a disulfonic acid or an aminosulfonic acid having an alkyl, aryl or aralkyl skeletal structure, with the alkyl skeletal structure exhibiting from 1 to 12 C atoms, in particular 2-6 C atoms, the aryl skeletal structure exhibiting 6-10 C atoms, in particular phenyl, and the aralkyl skeletal structure exhibiting 6-12 C atoms, in particular benzyl, or an aminoalkyl or carboxyalkyl group having 2-12 C atoms, in particular 2-6 C atoms; or with the linker group at P4 or P2 being an oligo- or polyalkylene glycol chain, in particular being a poly- or oligoethylene- or poly- or oligopropylene glycol chain, with the oligo- or polyalkylene glycol exhibiting a functional group, in particular a substituted or unsubstituted amino, carboxyl

and/or mercapto group, at least at both ends, or with the oligo- or polyalkylene glycol exhibiting a functional group, in particular a substituted or unsubstituted amino, carboxyl and/or mercapto group, at least at one end, and being modified with an alkyl group having 1-4 C atoms, in particular methyl, at the other end,

with, when the linker group is coupled to P4 by way of a substituent, the substituent preferably being a -NH- group, -NH-alkyl group having 1 to 6 C atoms, in particular methyl, a -CO- group, a -CO-alkyl group having 2-6 C atoms, in particular -CO-methyl, a -CO-O-alkyl group having 1-6 C atoms, in particular methyl, a -S- group, a -S-alkyl group having 1 to 6 C atoms, in particular methyl, a -O-alkyl group having 1-6 C atoms, in particular methyl, a $-SO_2-$ group or a $-SO_2$-alkyl group having 1-6 C atoms, in particular methyl, or
with, when the linker group is coupled to P2, P2 preferably being
(a) lysine or its homologs having 1-5 C atoms in the side chain, in particular ornithine, homolysine, α,γ-diaminobutyric acid, α,β-diaminopropionic acid or α-diaminoglycine, or
(b) glutamic acid or its homologs having 1-5 C atoms in the side chain, in particular aspartic acid, glutamic acid or homoglutamic acid, or
(c) cysteine or homocysteine, or
(d) serine or threonine.

5. The use as claimed in claim 4, characterized in that the linker group together with the substituent for coupling to P4 exhibits the general formula II

U-Z-Y-X- (II)

where

U is an H_2N-, HOOC-$(CH_2)_n$-CO-NH-, HOOC-, H_2N-$(CH_2)_n$-NH-CO- or HS- group, with Z being -$(CH_2)_n$-, in which n = 1 to 10, in particular 1-5, or Z being an oligo- or polyalkylene glycol of the general formula -$(CH_2)_d$-[O-CH_2-CH_2]$_v$O-$(CH_2)_m$-(NH-CO-CH_2-O-CH_2)$_k$- or -$(CH_2)_d$-[O-CH(CH_3)-CH_2]$_v$-O-$(CH_2)_m$-(NH-CO-CH_2-O-CH_2)$_k$- in which d = 1, 2, 3 or 4, v = an integer of from 1 to 1000, preferably of from 1 to 50, in particular of from 2 to 10, m = 0, 1, 2, 3 or 4 and k = 0 or 1 or

U is a CH_3-O- group with Z being an oligo- or polyalkylene glycol of the general formula -$(CH_2)_d$-[O-CH_2-CH_2]$_v$O-$(CH_2)_m$-(NH-CO-CH_2-O-CH_2)$_k$- or -$(CH_2)_d$-[O-CH(CH_3)-CH_2]$_v$-O-$(CH_2)_m$-(NH-CO-CH_2-O-CH_2)$_k$- in which d = 1, 2, 3 or 4, v = an integer of from 1 to 1000, preferably of from 1 to 50, in particular of from 2 to 10, m = 0, 1, 2, 3 or 4 and k = 0 or 1;

Y is a -CO-NH- group, a -NH-CO- group, a -SO_2-NH- group, a -NH-SO_2- group, a -S-S- group or a -S- group, or, if U and Z are not present, is a -H_2N- group, HOOC- group, HS- group, HO- group or halogenoalkyl group;

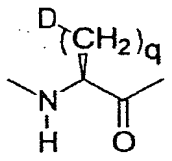
X is a -$(CH_2)_n$- group in which n = 0, 1, 2, 3 or 4, in particular n = 1, or is a -$(CH_2)_n$-O- group having a bond to the benzyl radical by way of the oxygen and n = 1, 2, 3 or 4;

and the coupling of the linker group to the phenyl ring of the benzyl radical proceeds from X, if present, or from Y if X is not present.

6. The use as claimed in claim 4 or 5, characterized in that, if the linker group is coupled to P4, P2

is glycine, alanine, serine, proline, homoproline or azetidinecarboxylic acid.

7. The use as claimed in claim 4, characterized in that the linker group is coupled to P2, with P2 exhibiting the general formula III



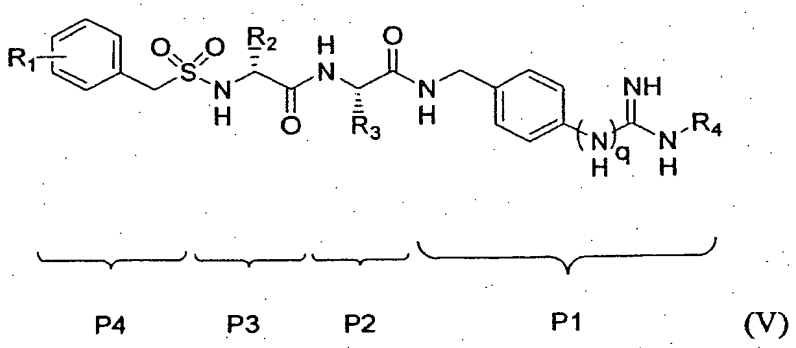
(III)

where q = 0, 1, 2, 3, 4 or 5 and D is formula IV

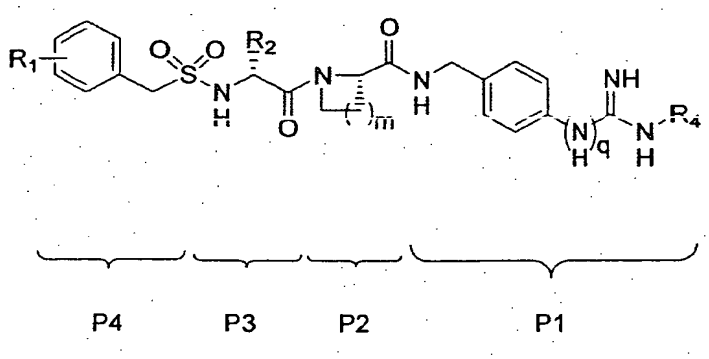
U-Z-Y- (IV)

where U, Z and Y have the same meaning as in formula II in accordance with claim 5.

8. The use as claimed in at least one of claims 1 to 7, characterized in that the acylated amidino- or guanidinobenzylamine exhibits the general formula V or VI



(V)



(VI)

in which m = 1 to 3 and q = 0 or 1, in particular 0,

where R_1, R_2, R_3 and/or R_4 is

(a) hydrogen, and/or

(b) a halogen, preferably fluorine, chlorine and/or bromine, and/or

(c) a substituted or unsubstituted, branched or linear alkyl radical having 1-6 C atoms, preferably 1-3 C atoms, in particular methyl, with the substituent of the substituted, branched or linear alkyl radical preferably being a halogen, hydroxyl, amino, cyano, amidino, guanidino and/or carboxyl group, where appropriate esterified with a lower alkyl radical, in particular with methyl or ethyl, and/or

(d) a hydroxyl, amino, cyano, amidino, guanidino, methyloxycarbonyl, benzyl, benzyloxycarbonyl, aminomethyl or glutaryl or succinylamidomethyl group, and/or an oxyalkylcarbonyl, carboxyl, carboxymethyl or carboxyethyl group, where appropriate esterified with a lower alkyl radical, in particular with methyl or ethyl, or an oxyalkylcarbonyl, carboxyl, carboxymethyl or carboxyethyl group which is present as

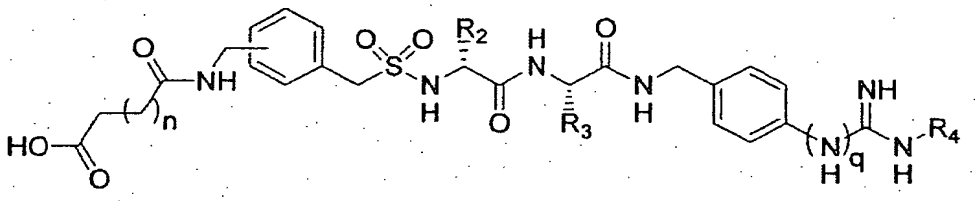
unsubstituted amide or amide which is substituted by an alkyl or aryl group, and/or

R_1 and/or R_3 can be a linker group, with the linker group being coupled to P4 by way of a substituent as defined in claim 3 or coupled directly to a functional group of P2, in particular by way of a -NH- or a -CO- group, with the linker group preferably being a dicarboxylic acid, an aminocarboxylic acid, a diamine, a disulfonic acid or an aminosulfonic acid having an alkyl, aryl or aralkyl skeletal structure, with the alkyl skeletal structure exhibiting from 1 to 12 C atoms, in particular 2-6 C atoms, the aryl skeletal structure exhibiting 6-10 C atoms, in particular phenyl, and the aralkyl skeletal structure exhibiting 6-12 C atoms, in particular benzyl, or an aminoalkyl or carboxyalkyl group having 2-12 C atoms, in particular 2-6 C atoms; or with the linker group at P4 or P2 being an oligo- or polyalkylene glycol chain, in particular a poly- or oligoethylene or poly- or oligopropylene glycol chain, with the oligo- or polyalkylene glycol exhibiting a functional group, in particular a substituted or unsubstituted amino, carboxyl and/or mercapto group, at least at both ends, or with the oligo- or polyalkylene glycol exhibiting a functional group, in particular a substituted or unsubstituted amino, carboxyl and/or mercapto group, at least at one end and being modified with an alkyl group having 1-4 C atoms, in particular methyl, at the other end, and/or

R_1 exhibits the formula (II) as defined in claim 5 and P2 together with R_3 exhibits the formulae (III) and (IV) as defined in claim 7, and

R_4 is particularly preferably hydroxyl, amino and alkoxycarbonyl.

9. The use as claimed in at least one of claims 1 to 6 or 8, characterized in that a compound according to the general formula I having a linker group at P4 in accordance with the formula II, as defined in claim 5, exhibits one of the following structures:



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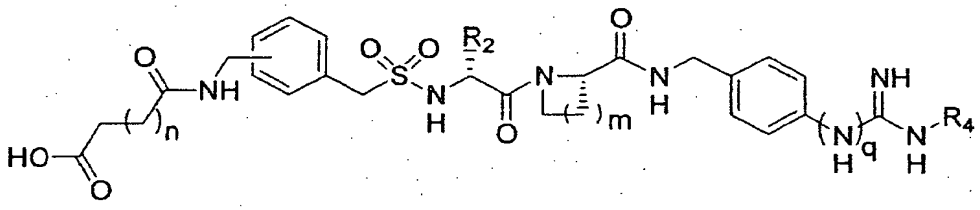

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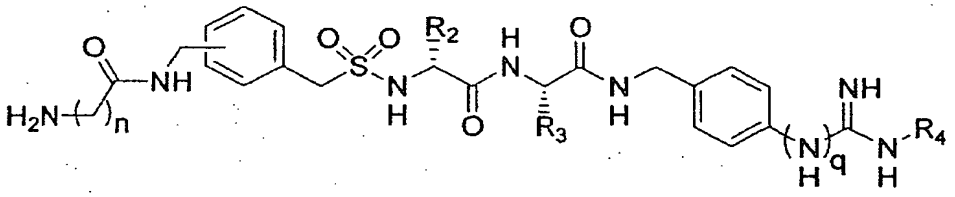

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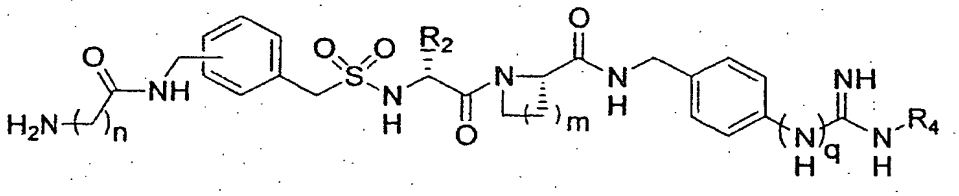
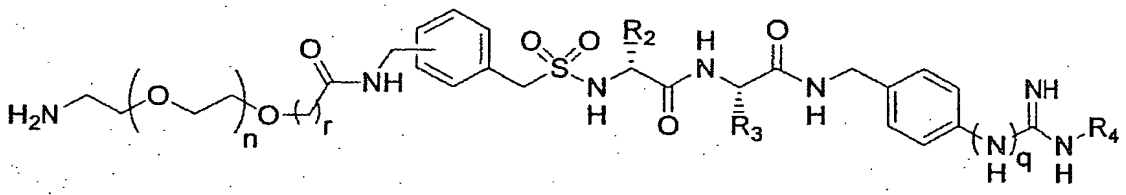


or

in which n = 1 to 10, m = 1 to 3 and q = 0 or 1, in particular 0, where R_2, R_3 and R_4 have the meanings given in claim 8.

10. The use as claimed in at least one of claims 1 to 6 or 8, characterized in that a compound in accordance with the general formula I having a linker group at P4 in accordance with the general formula II, as defined in claim 5, exhibits one of the following structures:



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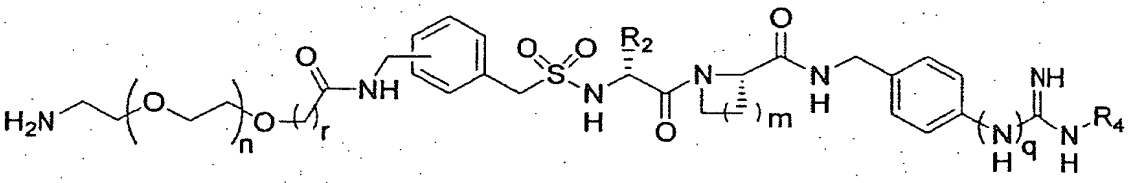

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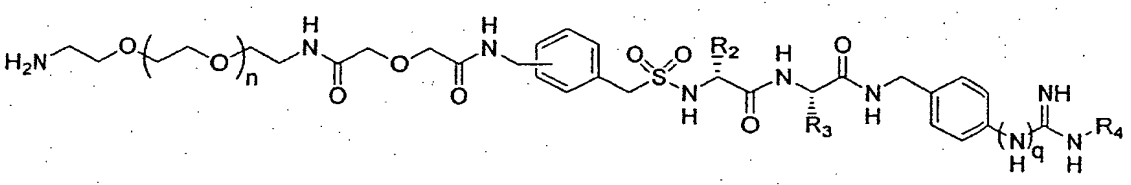

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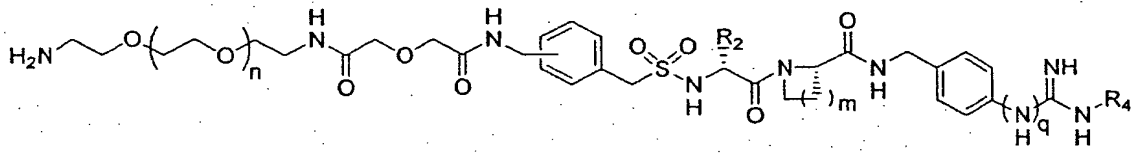
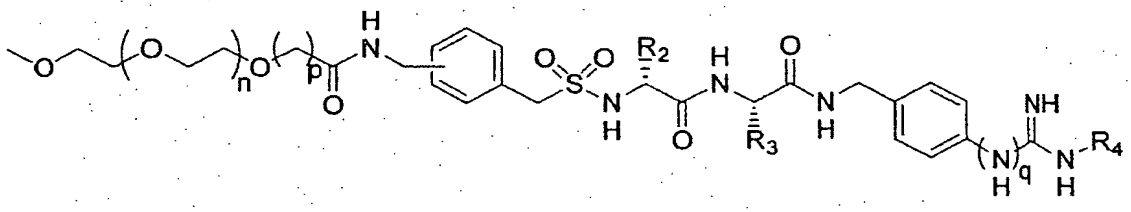


in which n = 1 to 1000, m = 1 to 3, r = 0 to 3 and q = 0 or 1, in particular 0, where R_2, R_3 and R_4 have the meanings given in claim 8.

11. The use as claimed in at least one of claims 1 to 6 or 8, characterized in that a compound in accordance with the general formula I having a linker group at P4 in accordance with the general formula II, as defined in claim 5, exhibits one of the following structures:



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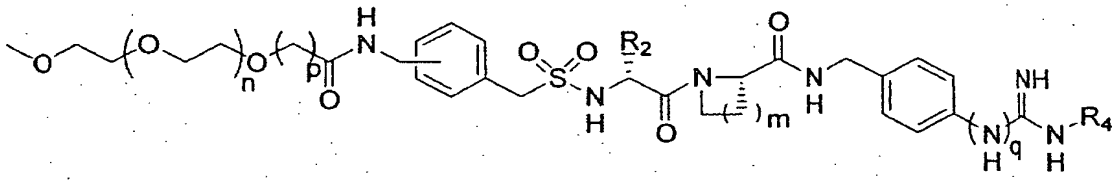

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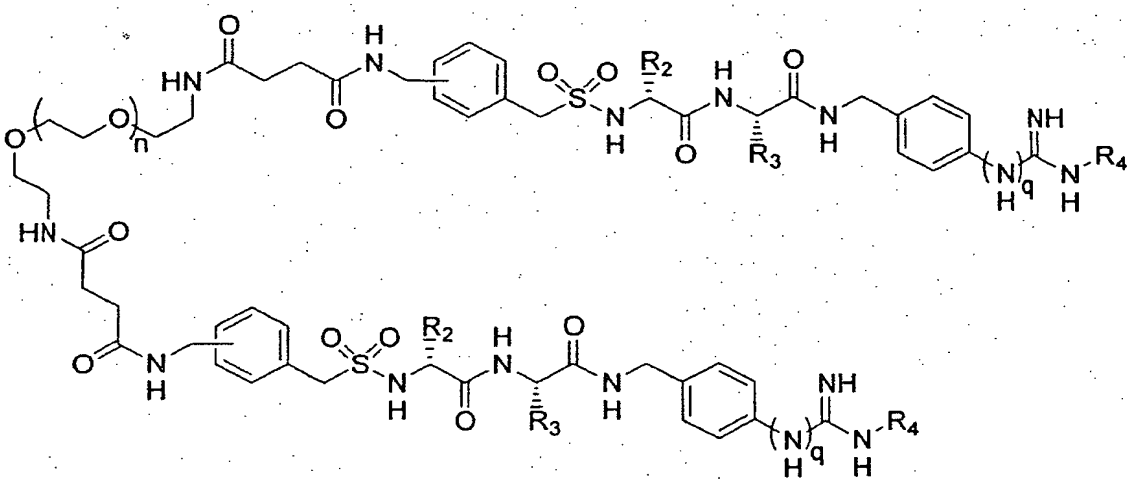

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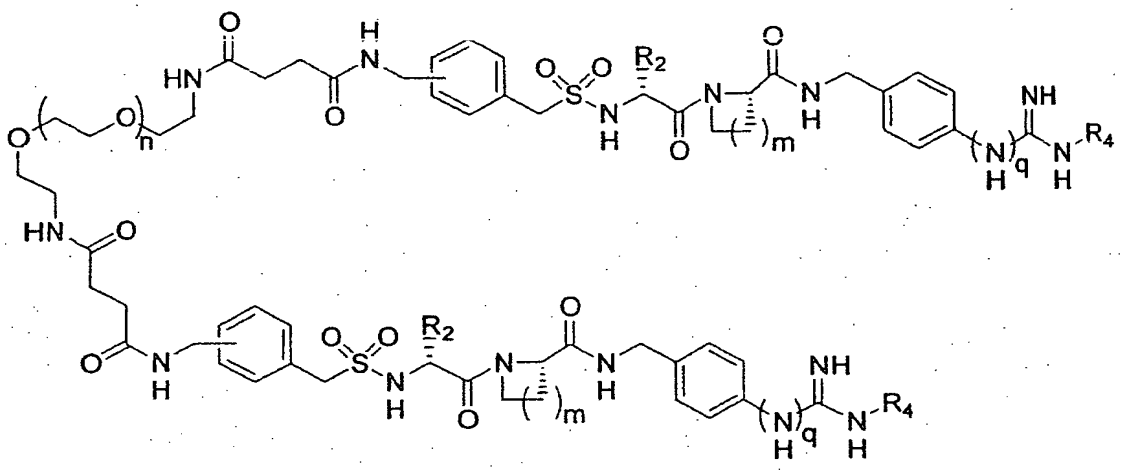

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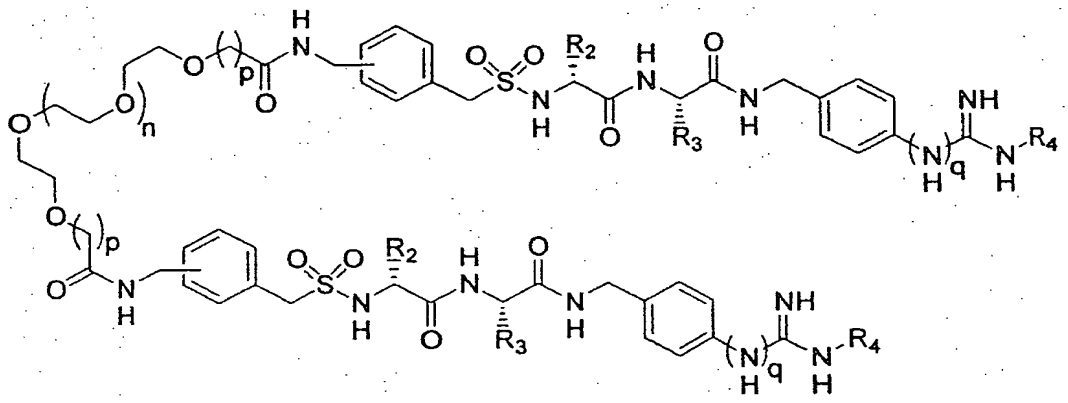

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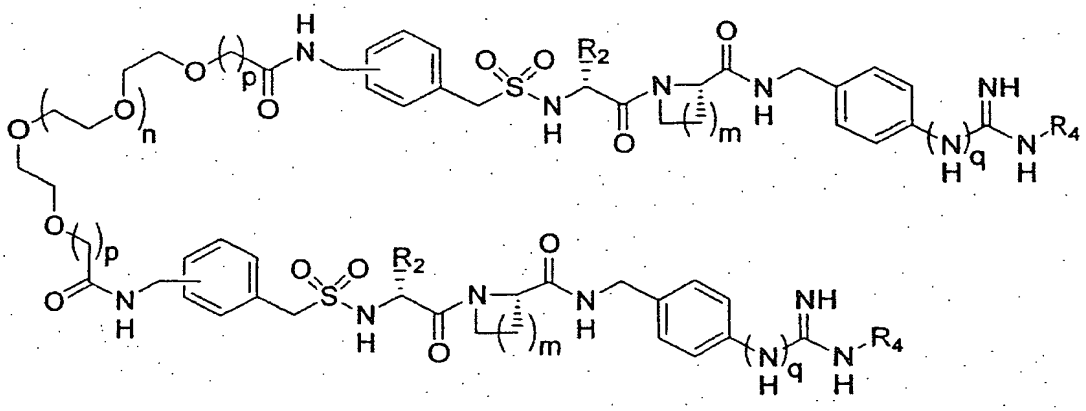
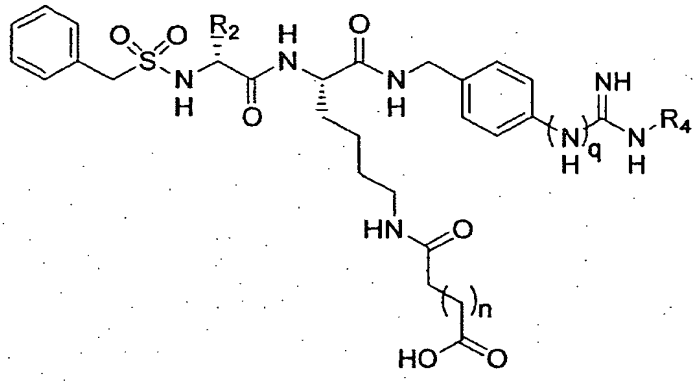


in which p = 0, 1, 2 or 3, q = 0 or 1, in particular 0, n = 1 to 1000 and m = 1 to 3,

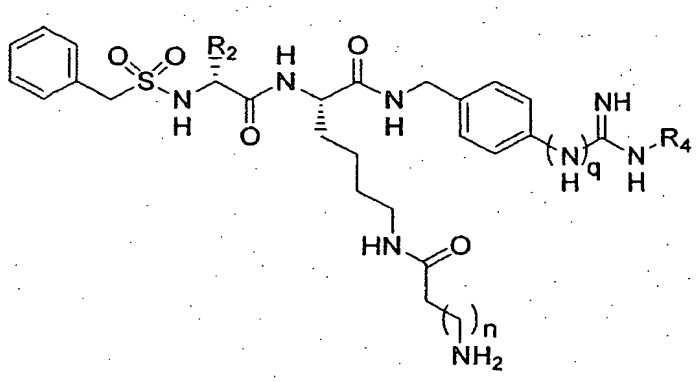
where R_2, R_3 and R_4 have the meanings given in claim 8.

12. The use as claimed in at least one of claims 1, 2, 3, 4, 7 or 8, characterized in that a compound in accordance with the general formula I having a linker group at P2 in accordance with the general formulae III and IV, as defined in claim 7, exhibits one of the following structures:



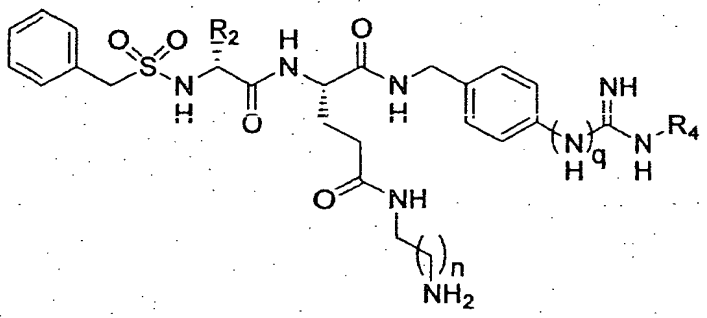
in which n = 0 to 5, preferably 1 or 2,

or



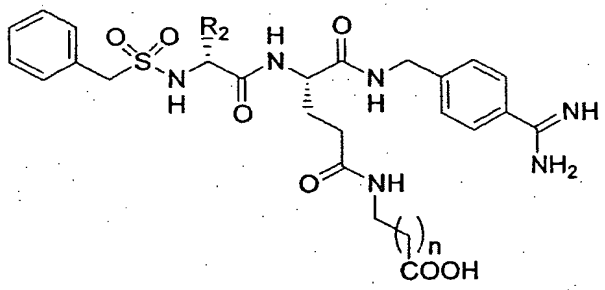
in which n = 0 to 11,

or



in which n = 1 to 6

or



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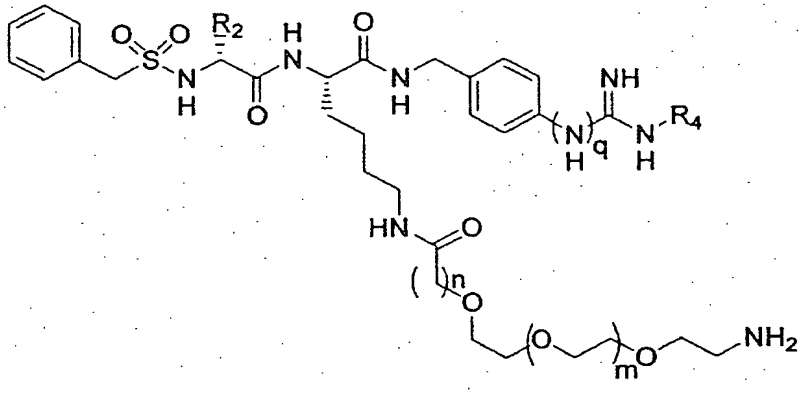
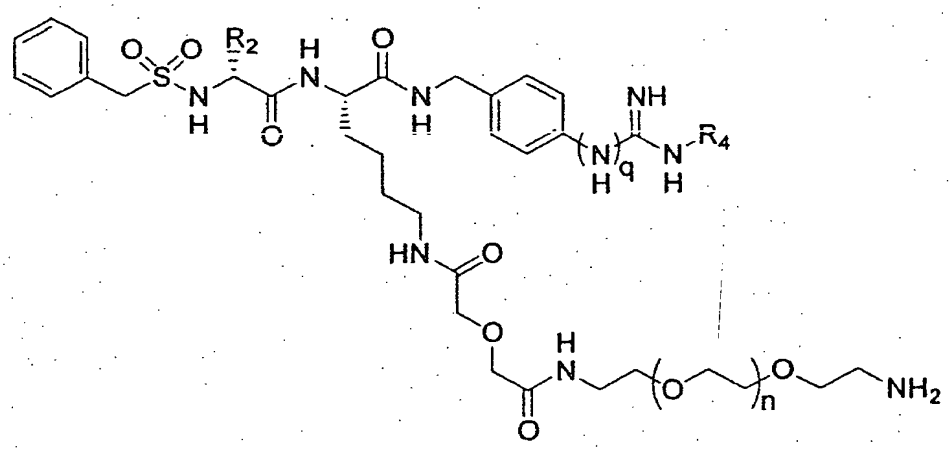


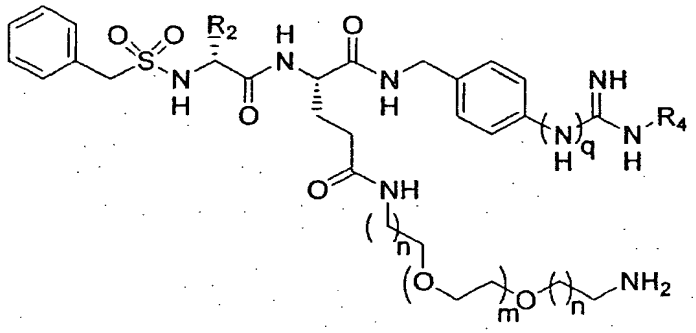
in which n = 0 to 3 and m = 0 to 1000

or



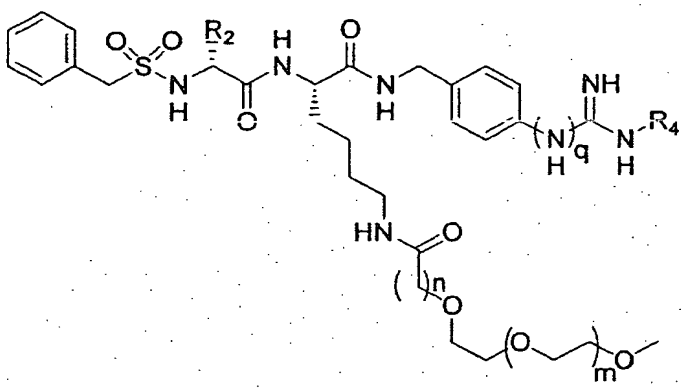
in which n = 1 to 1000

or



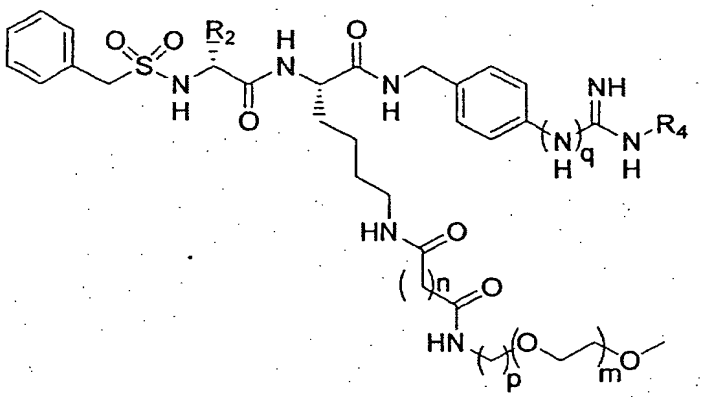
in which n = 1 to 3 and m = 1 to 1000, where q is in each case 0 or 1, in particular 0, and R_2 and R_4 in each case have the meanings given in claim 8.

13. The use as claimed in at least one of claims 1, 2, 3, 4, 7 and 8, characterized in that a compound in accordance with the general formula I having a linker group at P2 in accordance with the general formulae III and IV, as defined in claim 7, exhibits one of the following structures:



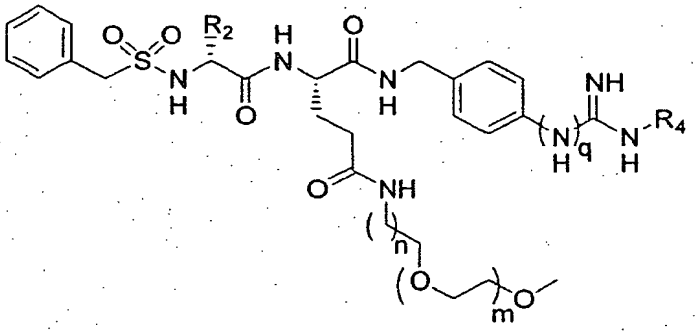
in which n = 0 to 4 and m = 10 to 1000

or



in which n = 1 to 4, p = 2 to 4 and m = 1 to 1000

or



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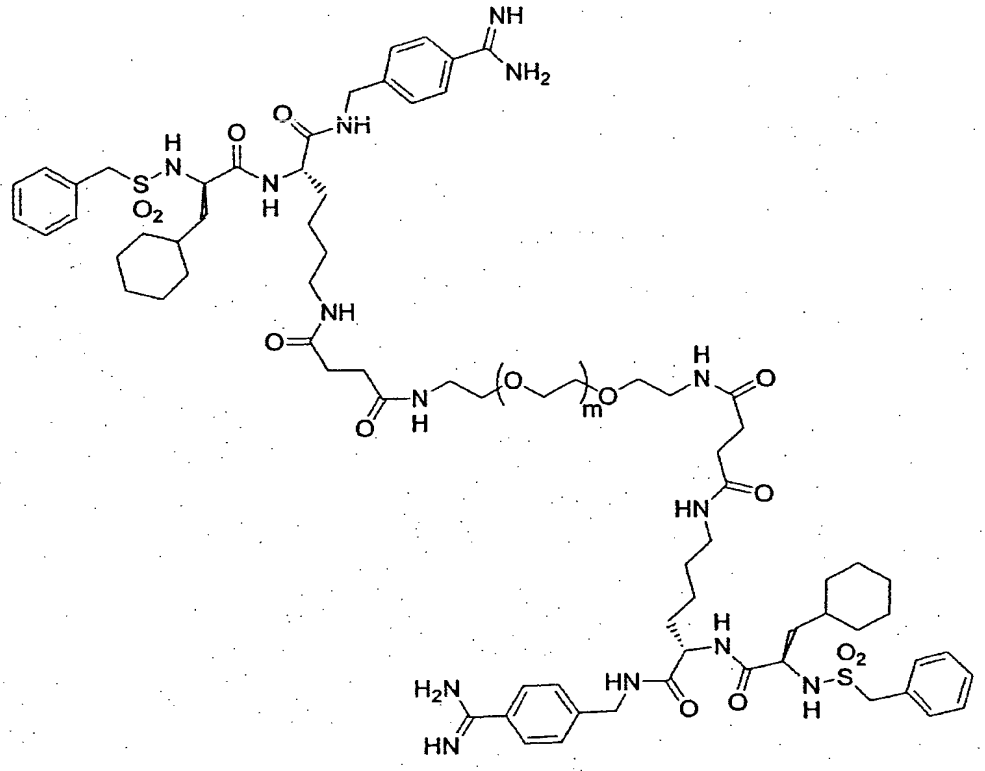

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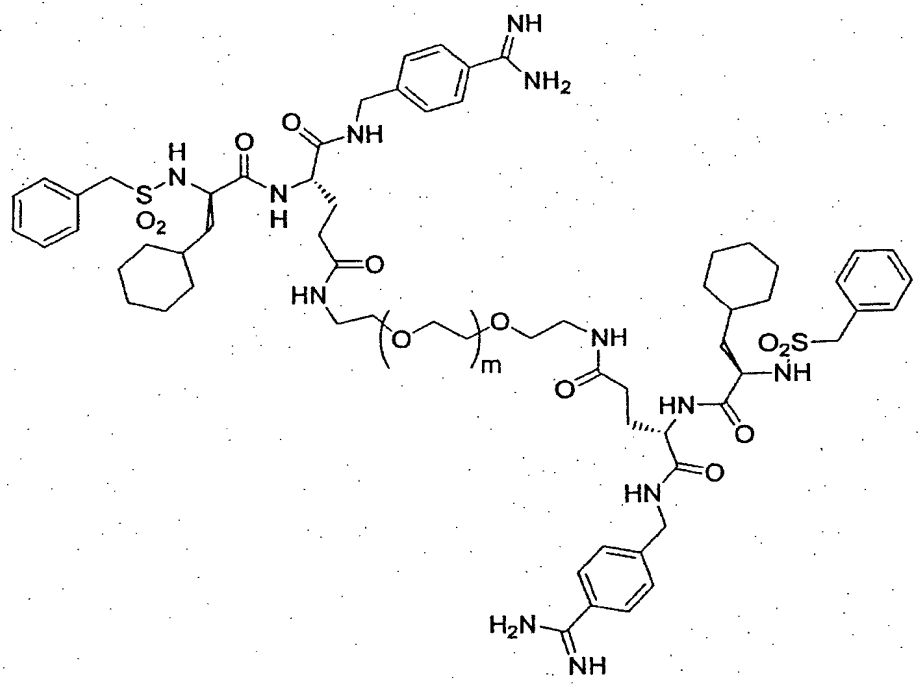
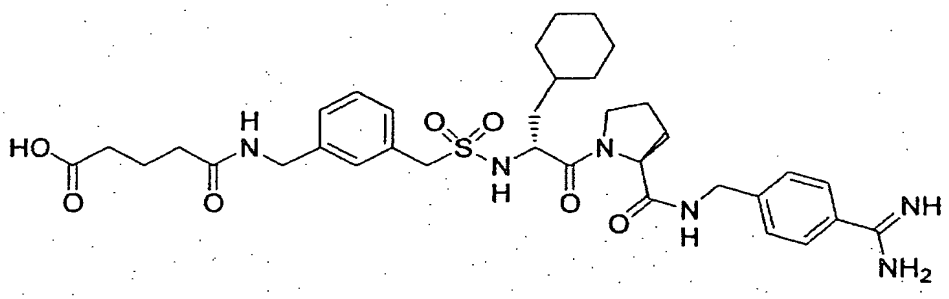


in which n = 1 to 3 and m = 10 to 1000,

where q is 0 or 1, in particular 0, and R_2 and R_4 in each case have the meanings given in claim 8.

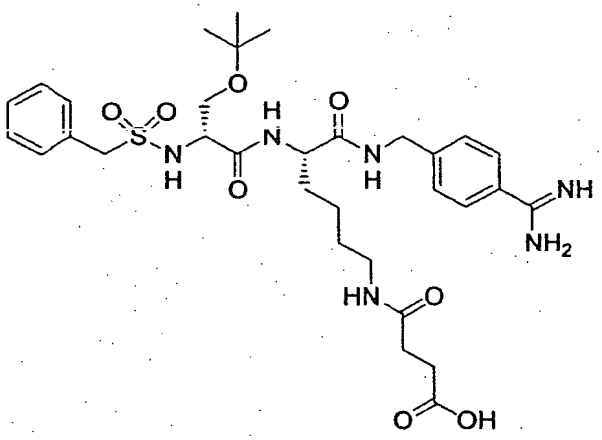
14. The use as claimed in at least one of claims 1, 2, 3, 4, 7, 8, 12 and 13, with a coupling to a synthetic surface being effected by way of P2, characterized in that the substituent at P4 is, in particular, H, a halogen, an amino group, a hydroxyl group or a linear or branched alkyl group having from 1 to 6 carbon atoms.

15. The use as claimed in at least one of claims 4 to 6 and 8 to 11, characterized in that a compound in accordance with the general formula I having a linker group at P4 in accordance with the general formula II, as defined in claim 5, exhibits the following structure



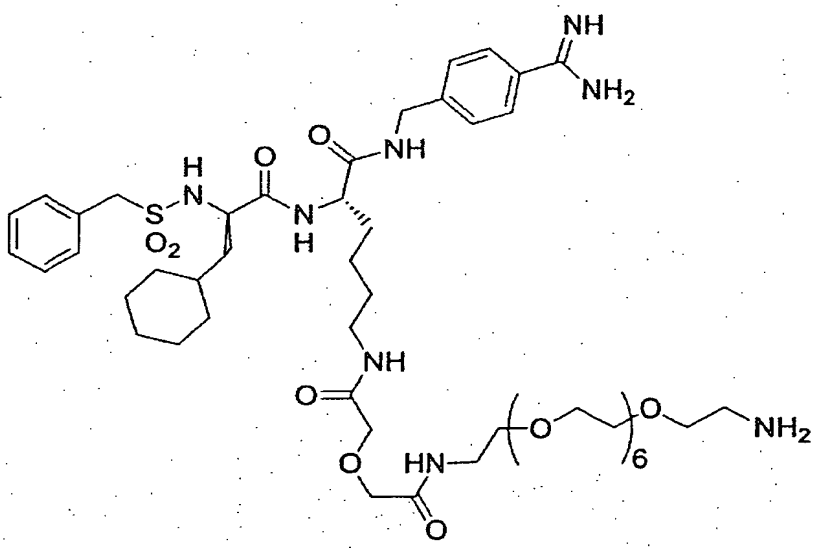
where D-Cha in position P3 can, in particular, also be D-Phe or D-Ser(tBu), and glutaryl at P4 can also be succinyl.

16. The use as claimed in at least one of claims 1, 2, 3, 4, 8, 12 and 14, characterized in that a compound in accordance with the general formula I exhibits one of the following structures

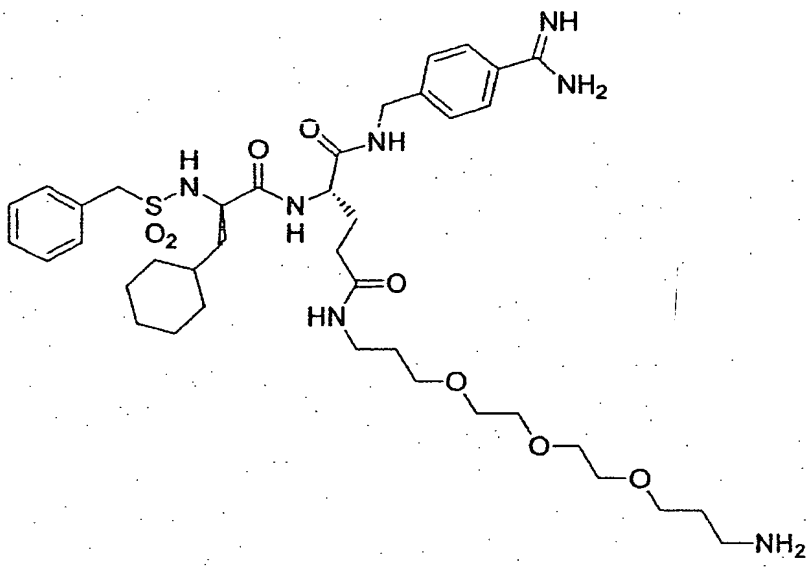


where D-Ser(tBu) in position 3 can, in particular, also be D-Cha or D-Phe, and succinyl at P2 can also be glutaryl.

17. The use as claimed in at least one of claims 1, 2, 3, 4, 7, 8, 12 and 14, characterized in that a compound in accordance with the general formula I exhibits one of the following structures:



or



where D-Cha in position P3 can, in particular, also be D-Phe or D-Ser(tBu).

18. The use as claimed in at least one of claims 1 to 17, characterized in that, in the general formula I, P4 carries a radical R at the aromatic radical, P3 is D-Ser, D-Ser(tBu), D-Phe or D-Cha and P2 is a natural or unnatural amino acid Aaa, where R is H-, 4-, 3- or 2-, preferably 4- or 3-COOH, 4-, 3- or 2-, preferably 4- or 3-COOMe, 4-, 3- or 2-, preferably 4- or 3-AMe, 4-, 3- or 2-, preferably 4- or 3-glutaryl-AMe or 4-, 3- or 2-, preferably 4- or 3-CN, and Aaa is Gly, Ala, Pro, Asp, Glu, Gln, hGlu, Dap, Dap(Z), Lys, Lys(Z), Arg, Thr, Thr(Bzl), Ser, Ser(Bzl), hSer, hSer(Bzl), Phe or hPhe.

19. The use as claimed in claim 18, characterized in that, when P3 is D-Ser, Aaa is preferably Gln, Dap, Dap(Z), Lys, Lys(Z), Ser(Bzl), hSer, Phe or hPhe, in particular Lys(Z), and R is H or, when Aaa is Ala or Ser, R is HOOC-;

or, when P3 is D-Ser(tBu), Aaa is Pro, Gln, Dap, Dap(Z), Lys, Lys(Z), Arg, Thr, Thr(Bzl), Ser(Bzl),

hSer(Bzl), Phe or hPhe, in particular Pro, Gln, Lys, Lys(Z), hSer(Bzl), Phe or hPhe, and R is H, or, when Aaa is Gly or Ala, R is HOOC- or, when Aaa is Pro, R is CN-;

or, when P3 is D-Cha, Aaa is Lys or Glu and R is H, or when Aaa is Pro, R is glutaryl-AMe, in particular, when Aaa is -NH-CH-[CH_2-CH_2-CO-NH-$(CH_2)_3$-[O-$(CH_2)_2$]$_3$-CH_2-NH_2]-CO-, R is H.

20. The use as claimed in at least one of claims 1-19, characterized in that the acylated 4-amidino- or 4-guanidinobenzylamine is present in the form of a salt, in particular as a salt of a mineral acid, for example sulfuric acid or hydrochloric acid, or of a suitable organic acid, for example acetic acid, formic acid, methylsulfonic acid, succinic acid, malic acid or trifluoroacetic acid, in particular as hydrochloride, sulfate or acetate.

21. The use as claimed in at least one of claims 1-20, characterized in that an H_2N group of a linker group which is coupled to the acylated 4-amidino- or 4-guanidinobenzylamine can be reacted with a dicarboxylic anhydride, preferably the anhydride of succinic acid or of glutaric acid, with the formation of an HOOC group, or in that an HOOC group of a linker group which is coupled to the acylated 4-amidino- or 4-guanidinobenzylamine can be reacted with a diamine with the retention of an H_2N group.

22. The use as claimed in at least one of claims 1 to 10, 12 or 14 to 17, characterized in that the linker group which is coupled covalently to P4 or P2 is, in the presence of a second functional group, in particular a substituted or unsubstituted amino, carboxyl and/or mercapto group, covalently coupled to a synthetic surface

or, if the linker group is an oligo- or polyalkylene glycol, covalently coupled to a second molecule of the formula I.

23. The use as claimed in at least one of claims 1 to 8, 11, 13 or 14, characterized in that the linker group which is coupled covalently to P4 or P2 is an oligo- or polyalkylene glycol which can be modified, at the end which is not coupled to P4 or P2, with an alkyl group having 1-4 C atoms, in particular methyl, or with a second molecule of the formula I, with the linker group being able to be coupled noncovalently to a synthetic surface by means of interaction with it.

24. The use as claimed in at least one of claims 22 and 23, characterized in that the synthetic surface is composed of cellulose diacetate, cellulose triacetate, poly(ether sulfone), poly(aryl ether sulfone), regenerated cellulose, cuprophan, hemophan, poly(sulfone), poly(acrylonitrile), poly(vinyl alcohol), poly(carbonate), poly(amide), poly(methyl methacrylate), poly(ethylene-co-vinyl alcohol) or another material which is used in appliances such as dialyzers, oxygenators, catheters or membranes, and/or the hose systems and/or air traps which belong to the appliances, for the surfaces which come into contact with blood, with the surface material optionally being modified, for the covalent coupling of the molecule of the formula I by way of the linker group coupled to P4 or P2, with functional groups, e.g. amino groups, aminoalkyl groups, carboxyl groups, carboxyalkyl groups, mercapto groups, mercaptoalkyl groups, hydroxyl groups or hydroxyalkyl groups.

25. The use as claimed in at least one of claims 1 to 24 for preventing blood coagulation at synthetic

surfaces of, for example, appliances such as dialyzers, oxygenators, catheters or membranes and/or the hose systems and/or air traps which belong to the appliances.

26. The use as claimed in claim 25 for preventing blood coagulation at synthetic surfaces by means of covalently or noncovalently coating the synthetic surface(s) by way of a linker group as defined in one of claims 4 to 23.

27. The use of acylated 4-amidino- or 4-guanidinobenzylamine, as defined in at least one of claims 1 to 23, for producing a pharmaceutical for use as an anticoagulant and/or antithrombotic agent for preventing and/or treating cardiac infarction, cerebral stroke, embolisms, deep leg vein thromboses, e.g. following hip joint operations and/or knee joint replacement, unstable angina or complications as a consequence of angioplasty, in particular percutaneous transluminal coronary angioplasty (PTCA).

28. The use of acylated 4-amidino- or 4-guanidinobenzylamine, as defined in at least one of claims 1 to 23, for producing a pharmaceutical for use as an anticoagulant and/or antithrombotic agent for preventing or treating disseminated intravascular coagulation, septic shock, allergies, the postgastrectomy syndrome, arthritis and ARDS (adult respiratory distress syndrome).

29. The use of acylated 4-amidino- or 4-guanidinobenzylamine, as defined in at least one of claims 1 to 22, for producing a pharmaceutical for inhibiting plasma kallikrein and/or factor XIIa and/or factor XIa in parenteral use form, in particular in intraarterial, intravenous, intramuscular or subcutaneous form, in enteral use

form, in particular for oral or rectal use, or in topical use form, in particular as a skin treatment agent.

30. The use as claimed in claim 29 for inhibiting plasma kallikrein.

31. The use of acylated 4-amidino- or 4-guanidinobenzylamine, as defined in at least one of claims 1 to 21, for producing a pharmaceutical for inhibiting plasma kallikrein and/or factor XIIa and/or factor XIa, in particular in the form of a tablet, of a sugar-coated tablet, of a capsule, of a pellet, of a suppository, of a solution, in particular of a solution for injection or infusion, of eye drops, of nose drops, of a juice, of a capsule, of an emulsion or suspension, of globuli, of styli, of an aerosol, of a powder, of a paste, of a cream or of an ointment.

32. The use as claimed in claim 31 for inhibiting plasma kallikrein.

33. The use of acylated amidinobenzylamine of the general formula V or VI, as defined in claim 8, in which R_4 is, in particular, HO- and R_1 and R_3 are not an oligo- or polyalkylene group, for producing a pharmaceutical for use as an anticoagulant and/or antithrombotic agent, as defined in at least one of claims 27 to 32, with the active compound being present in the form of a prodrug for oral administration.

34. The use as claimed in at least one of claims 1 to 33, characterized in that the acylated amidino- or guanidinobenzylamine is used for inhibiting other trypsin-like serine proteases such as thrombin, factor XIIa, factor XIa, factor Xa, factor IXa,

factor VIIa, urokinase, tryptase and plasmin as well as trypsin-like serine proteases of the complement system, in particular acylated amidino- or guanidinobenzylamines of the general formula I having a linker group at P4 or P2 as defined in claims 4 to 23.

35. An acylated 4-amidino- or 4-guanidinobenzylamine in accordance with the general formula I

P4-P3-P2-P1 (I),

where P4 is a monosubstituted or polysubstituted or unsubstituted benzylsulfonyl group, P3 is a monosubstituted or polysubstituted or unsubstituted, natural or unnatural α-amino acid or α-imino acid in the D configuration,
P2 is a monosubstituted or polysubstituted or unsubstituted natural or unnatural α-amino acid or α-imino acid in the L configuration, and
P1 is a monosubstituted or polysubstituted or unsubstituted 4-amidino- or 4-guanidinobenzylamine group,
characterized in that a linker group is also coupled to P4 or P2, with the linker group being coupled to P4 by way of a substituent as defined in claim 3 or directly coupled to a functional group of P2, in particular by way of a -NH- or a -CO- group, with the linker group preferably being a dicarboxylic acid, an aminocarboxylic acid, a diamine, a disulfonic acid or an aminosulfonic acid having an alkyl, aryl or aralkyl skeletal structure, with the alkyl skeletal structure exhibiting from 1 to 12 C atoms, in particular 2-6 C atoms, the aryl skeletal structure exhibiting 6-10 C atoms, in particular phenyl, and the aralkyl skeletal structure exhibiting 6-12 C atoms, in particular benzyl, or an aminoalkyl or carboxyalkyl group having 2-12 C atoms, in

particular 2-6 C atoms; or with the linker group at P4 or P2 being an oligo- or polyalkylene glycol chain, in particular being a poly- or oligoethylene or poly- or oligopropylene glycol chain, with the oligo- or polyalkylene glycol exhibiting a functional group, in particular a substituted or unsubstituted amino, carboxyl and/or mercapto group, at least at both ends, or with the oligo- or polyalkylene glycol exhibiting a functional group, in particular a substituted or unsubstituted amino, carboxyl and/or mercapto group, at least at one end, and being modified at the other end with an alkyl group having 1-4 C atoms, in particular methyl,

with, when the linker group is coupled to P4 by way of a substituent, the substituent preferably being a -NH- group, -NH-alkyl group having 1 to 6 C atoms, in particular methyl, a -CO- group, a -CO-alkyl group having 2-6 C atoms, in particular -CO-methyl, a -CO-O-alkyl group having 1-6 C atoms, in particular methyl, a -S- group, a -S-alkyl group having 1 to 6 C atoms, in particular methyl, a -O-alkyl group having 1-6 C atoms, in particular methyl, a $-SO_2-$ group or a $-SO_2$-alkyl group having 1-6 C atoms, in particular methyl, or, when the linker group is coupled to P2, P2 preferably being

(a) lysine or its homologs having 1-5 C atoms in the side chain, in particular ornithine, homolysine, α,γ-diaminobutyric acid, α,β-diaminopropionic acid or α-diaminoglycine, or

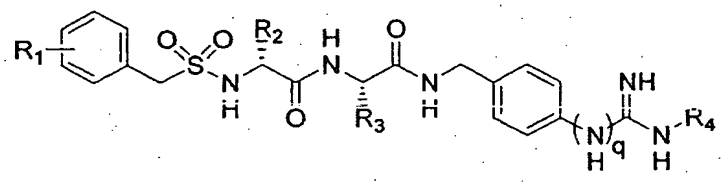
(b) glutamic acid or its homologs having 1-5 C atoms in the side chain, in particular aspartic acid, glutamic acid or homoglutamic acid, or

(c) cysteine or homocysteine, or

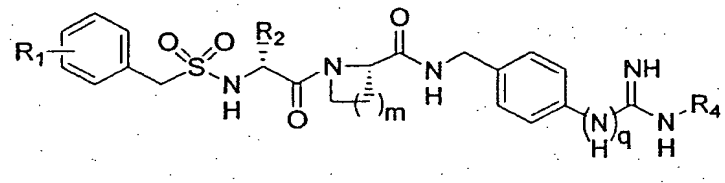
(d) serine or threonine.

36. An acylated 4-amidino- or 4-guanidinobenzylamine as claimed in claim 35, characterized in that the linker group at P4 or P2 is an oligo- or polyalkylene glycol chain, in particular a poly- or oligoethylene- or poly- or oligopropylene glycol chain, with the oligo- or polyalkylene glycol exhibiting a functional group, in particular a substituted or unsubstituted amino, carboxyl and/or mercapto group, at least at both ends, or with the oligo- or polyalkylene glycol exhibiting a functional group, in particular a substituted or unsubstituted amino, carboxyl and/or mercapto group, at least at one end and being modified at the other end with an alkyl group having 1-4 C atoms, in particular methyl.

37. An acylated 4-amidino- or 4-guanidinobenzylamine as claimed in claim 35 or 36, characterized in that it exhibits the general formula V or VI as defined in claim 8



P4 P3 P2 P1 (V)



P4 P3 P2 P1 (VI)

in which m = 1 to 3 and q = 0 or 1, in particular 0,

where R_1, R_2, R_3 and/or R_4 is

(a) hydrogen and/or

(b) a halogen, preferably fluorine, chlorine and/or bromine, and/or

(c) a substituted or unsubstituted, branched or linear alkyl radical having 1-6 C atoms, preferably 1-3 C atoms, in particular methyl, or a substituted or unsubstituted, branched or linear aralkyl radical having 1-10 C atoms, with the substituent of the substituted, branched or linear alkyl radical or aralkyl radical preferably being a halogen, hydroxyl, amino, cyano, amidino, guanidino and/or carboxyl group, where appropriate

esterified with a lower alkyl radical, in particular with methyl or ethyl, and/or

(d) a hydroxyl, amino, cyano, amidino, guanidino, methyloxycarbonyl, benzyl, benzyloxycarbonyl, aminomethyl or glutaryl or succinylamidomethyl group and/or an oxyalkylcarbonyl, carboxyl, carboxymethyl or carboxyethyl group, where appropriate esterified with a lower alkyl radical, in particular with methyl or ethyl, or an oxyalkylcarbonyl, carboxyl, carboxymethyl or carboxyethyl group which is present as unsubstituted amide or amide which is substituted by an alkyl or aryl group, and/or

R_1 and/or R_3 can be a linker group, where the linker group is coupled to P4 by way of a substituent as defined in claim 2 or coupled directly to a functional group of P2, in particular by way of a -NH- or a -CO- group, with the linker group preferably being a dicarboxylic acid, an aminocarboxylic acid, a diamine, a disulfonic acid, or an aminosulfonic acid having an alkyl, aryl or aralkyl skeletal structure, with the alkyl skeletal structure exhibiting 1 to 12 C atoms, in particular 2-6 C atoms, the aryl skeletal structure exhibiting 6-10 C atoms, in particular phenyl, and the aralkyl skeletal structure exhibiting 6-12 C atoms, in particular benzyl, or an aminoalkyl or carboxyalkyl group having 2-12 C atoms, in particular 2-6 C atoms; or with the linker group at P4 or P2 being an oligo- or polyalkylene glycol chain, in particular a poly- or oligoethylene or poly- or oligopropylene glycol chain, with the oligo- or polyalkylene glycol exhibiting a functional group, in particular a substituted or unsubstituted amino, carboxyl and/or mercapto group, at both ends or with the oligo- or polyalkylene glycol exhibiting

a functional group, in particular a substituted or unsubstituted amino, carboxyl and/or mercapto group, at least at one end and being modified at the other end with an alkyl group having 1-4 C atoms, in particular methyl, and/or

R_1 exhibits the formula (II) as defined in claim 5 and P2 together with R_3 exhibits the formulae (III) and (IV) as defined in claim 7, and

R_4 is, particularly preferably, hydroxyl, amino and alkoxycarbonyl.

38. An acylated 4-amidino- or 4-guanidinobenzylamine as claimed in at least one of claims 35 to 37, characterized in that it exhibits a linker group at P4 and exhibits a structure as defined in claims 9, 10, 11 and 15.

39. An acylated 4-amidino- or 4-guanidinobenzylamine as claimed in at least one of claims 35 to 37, characterized in that it exhibits a linker group at P2 and exhibits a structure as defined in claims 12, 13 or 17.

40. An acylated 4-amidino- or 4-guanidinobenzylamine in accordance with the general formula I

P4-P3-P2-P1 (I),

where P1, P2, P3 and P4 have the meanings given in claims 1 to 23, characterized in that the acylated 4-amidino- or 4-guanidinobenzylamine is bound covalently or noncovalently to a synthetic surface.

41. An acylated 4-amidino- or 4-guanidinobenzylamine as claimed in claim 40, characterized in that the acylated 4-amidino- or 4-guanidinobenzylamine is

covalently bound to the synthetic surface by way of an amide or sulfonamide bond, a disulfide bridge or the alkylation of a mercapto group, in particular by way of an amide bond.

42. An acylated 4-amidino- or 4-guanidinobenzylamine as claimed in claim 40, characterized in that the acylated 4-amidino- or 4-guanidinobenzylamine is noncovalently bound to the synthetic surface by way of interactions of an oligo- or polyalkylene glycol group, in particular an oligo- or polyethylene glycol group, with this surface.

43. A synthetic surface, characterized in that the surface is covalently or noncovalently coated with acylated 4-amidino- or 4-guanidinobenzylamine as claimed in at least one of claims 35 to 39 or with acylated 4-amidino- or 4-guanidinobenzylamine as defined in at least one of claims 1 to 23.

44. An appliance which comprises a synthetic surface as claimed in claim 43.

45. An appliance as claimed in claim 44, characterized in that the appliance is a dialyzer, an oxygenator, a catheter or a membrane.